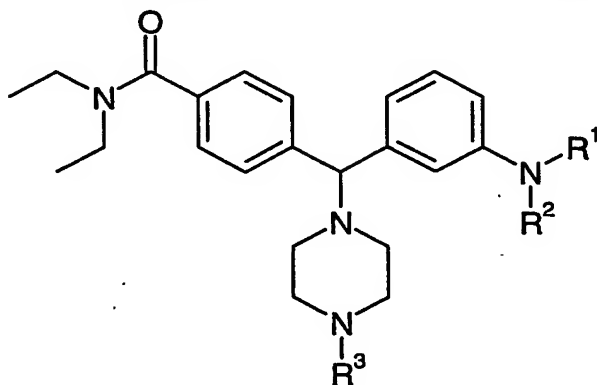


What is claimed is :

1. A compound of formula I, a pharmaceutically acceptable salt thereof:



5

I

wherein

- R<sup>1</sup> is selected from C<sub>3-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>2-9</sub>heteroaryl, C<sub>6-10</sub>aryl-C<sub>1-4</sub>alkyl, C<sub>2-9</sub>heteroaryl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, R<sup>8</sup>-C(=O)-, R<sup>8</sup>-S(=O)<sub>2</sub>-, R<sup>8</sup>-S(=O)-, R<sup>8</sup>-NHC(=O)-, R<sup>8</sup>-C(=S)- and R<sup>8</sup>-NH-C(=S)-, wherein R<sup>8</sup> is selected from C<sub>3-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>2-9</sub>heteroaryl, C<sub>6-10</sub>aryl-C<sub>1-4</sub>alkyl, C<sub>2-9</sub>heteroaryl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, and C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, wherein said C<sub>3-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>2-9</sub>heteroaryl, C<sub>6-10</sub>aryl-C<sub>1-4</sub>alkyl, C<sub>2-9</sub>heteroaryl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, and C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl used in defining R<sup>1</sup> and R<sup>8</sup> are optionally substituted with one or more groups selected from -R, -NO<sub>2</sub>, -OR, -Cl, -Br, -I, -F, -CF<sub>3</sub>, -C(=O)R, -C(=O)OH, -NH<sub>2</sub>, -SH, -NHR, -NR<sub>2</sub>, -SR, -SO<sub>3</sub>H, -SO<sub>2</sub>R, -S(=O)R, -CN, -OH, -C(=O)OR, -C(=O)NR<sub>2</sub>, -NRC(=O)R, and -NRC(=O)-OR, wherein R is, independently, selected from -H, C<sub>1-6</sub>alkyl and phenyl;

- R<sup>2</sup> is selected from -H and C<sub>1-6</sub>alkyl optionally substituted with one or more groups selected from halogen, -CF<sub>3</sub>, -OH, C<sub>1-3</sub>alkoxy, and halogen; and

R<sup>3</sup> is selected from -H, C<sub>1-6</sub>alkyl-O-C(=O)-, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, and C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, wherein said C<sub>1-6</sub>alkyl-O-C(=O)-, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, and C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl are optionally substituted with one or more groups selected from C<sub>1-6</sub>alkyl, halogenated C<sub>1-6</sub>alkyl, -NO<sub>2</sub>, -CF<sub>3</sub>, C<sub>1-6</sub>alkoxy and halogen.

25

2. A compound according to claim 1, wherein

$R^1$  is selected from  $C_{3-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{2-6}$ heteroaryl,  $C_{6-10}$ aryl- $C_{1-4}$ alkyl,  $C_{2-6}$ heteroaryl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{3-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{2-6}$ heteroaryl,  $C_{6-10}$ aryl- $C_{1-4}$ alkyl,  $C_{2-6}$ heteroaryl- $C_{1-4}$ alkyl,

5  $C_{3-10}$ cycloalkyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl are optionally substituted with one or more groups selected from  $C_{1-4}$ alkyl, halogen,  $-CF_3$ ,  $-OH$ ,  $C_{1-3}$ alkoxy, phenoxy, and halogen;

$R^2$  is selected from  $-H$  and  $C_{1-3}$ alkyl; and

$R^3$  is selected from  $-H$  and  $C_{1-6}$ alkyl- $O-C(=O)-$ .

10

3. A compound according to claim 2,

wherein  $R^1$  is  $R^9-CH_2-$ , wherein  $R^9$  is selected from phenyl, pyridyl, thienyl, furyl, imidazolyl, triazolyl, pyrrolyl, thiazolyl, N-oxido-pyridyl, benzyl, pyridylmethyl, thienylmethyl, furylmethyl, imidazolylmethyl, triazolylmethyl, pyrrolylmethyl, thiazolylmethyl and N-oxido-pyridylmethyl, optionally substituted with one or more groups selected from  $C_{1-4}$ alkyl, halogen,  $-CF_3$ ,  $-OH$ ,  $C_{1-3}$ alkoxy, phenoxy and halogen; and

15

$R^2$  and  $R^3$  are hydrogen.

20

4. A compound according to claim 3,

wherein  $R^9$  is selected from benzyl, phenyl, pyridyl, thienyl, furyl, imidazolyl, pyrrolyl and thiazolyl, optionally substituted with one or more groups selected from  $C_{1-4}$ alkyl, halogen,  $-CF_3$ ,  $-OH$ ,  $C_{1-3}$ alkoxy, phenoxy, and halogen.

25

5. A compound according to claim 4, wherein

wherein  $R^9$  is selected from benzyl, phenyl, pyridyl, thienyl, furyl, imidazolyl, pyrrolyl and thiazolyl.

6. A compound according to claim 1, wherein

30

$R^1$  is selected from  $C_{3-6}$ alkyl,  $C_{3-10}$ cycloalkyl, and  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{3-6}$ alkyl,  $C_{3-10}$ cycloalkyl, and  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl are optionally

substituted with one or more groups selected from C<sub>1-4</sub>alkyl, halogen, -CF<sub>3</sub>, -OH, C<sub>1-3</sub>alkoxy, phenoxy, and halogen;

R<sup>2</sup> is -H or C<sub>1-3</sub>alkyl; and

5 R<sup>3</sup> is -H, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, and C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, wherein said C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl are optionally substituted with one or more groups selected from C<sub>1-4</sub>alkyl, halogen, -CF<sub>3</sub>, -OH, C<sub>1-3</sub>alkoxy, phenoxy, and halogen.

7. A compound according to claim 6, wherein  
10 R<sup>1</sup> is selected from 1-propyl, 2-propyl, 1-butyl, 2-butyl, t-butyl, 2-methyl-1-propyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, and cyclononyl;  
R<sup>2</sup> is selected from -H, methyl, ethyl, 1-propyl and 2-propyl; and  
R<sup>3</sup> is selected from -H, methyl, ethyl, allyl, 3,3-dimethyl-allyl, cyclopropylmethyl, 2-methoxy-ethyl, and 3-methoxy-1-propyl.

15

8. A compound according to claim 1, wherein  
R<sup>1</sup> is selected from R<sup>8</sup>-C(=O)-, R<sup>8</sup>-S(=O)<sub>2</sub>-, R<sup>8</sup>-S(=O)-, R<sup>8</sup>-NHC(=O)-, R<sup>8</sup>-C(=S)- and R<sup>8</sup>-NH-C(=S)-, wherein R<sup>8</sup> is selected from C<sub>3-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>2-6</sub>heteroaryl, C<sub>6-10</sub>aryl-C<sub>1-4</sub>alkyl, C<sub>2-6</sub>heteroaryl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, and C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl; wherein said C<sub>3-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>2-6</sub>heteroaryl, C<sub>6-10</sub>aryl-C<sub>1-4</sub>alkyl, C<sub>2-6</sub>heteroaryl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, and C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl are  
20 optionally substituted with C<sub>1-4</sub>alkyl, halogen, -CF<sub>3</sub>, -OH, C<sub>1-3</sub>alkoxy, phenoxy, and halogen;

R<sup>2</sup> is -H; and

25 R<sup>3</sup> is selected from -H and C<sub>1-6</sub>alkyl-O-C(=O)-.

9. A compound according to claim 8, wherein  
R<sup>8</sup> is selected from phenyl, benzyl, phenethyl and cyclohexyl, wherein said phenyl, benzyl, phenethyl and cyclohexyl are optionally substituted with one or more  
30 groups selected from methyl, methoxy and halogen.

10. A compound according to claim 1, wherein the compound is selected from:

- N,N-diethyl-4-((S)-piperazin-1-yl{3-[(1,3-thiazol-2-ylmethyl)amino]phenyl}methyl)benzamide;  
N,N-diethyl-4-((R)-piperazin-1-yl{3-[(1,3-thiazol-2-ylmethyl)amino]phenyl}methyl)benzamide;  
5 4-[(S)-[3-(benzylamino)phenyl](piperazin-1-yl)methyl]-N,N-diethylbenzamide;  
N,N-diethyl-4-((R)-piperazin-1-yl{3-[(thien-2-ylmethyl)amino]phenyl}methyl)benzamide;  
N,N-diethyl-4-((S)-piperazin-1-yl{3-[(thien-2-ylmethyl)amino]phenyl}methyl)benzamide;  
10 N,N-diethyl-4-[(S)-{3-[(2-furylmethyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;  
4-[(R)-[3-(benzylamino)phenyl](piperazin-1-yl)methyl]-N,N-diethylbenzamide;  
N,N-diethyl-4-[(R)-{3-[(2-furylmethyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;  
15 N,N-diethyl-4-((R)-piperazin-1-yl{3-[(thien-3-ylmethyl)amino]phenyl}methyl)benzamide;  
N,N-diethyl-4-((S)-piperazin-1-yl{3-[(thien-3-ylmethyl)amino]phenyl}methyl)benzamide;  
20 N,N-diethyl-4-[(R)-{3-[(3-furylmethyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;  
N,N-diethyl-4-[(R)-{3-[(2-phenylethyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;  
4-[(R)-{3-[(cyclohexylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;  
25 N,N-diethyl-4-[(R)-piperazin-1-yl{3-[[4-trifluoromethyl]benzyl]amino}phenyl)methyl]benzamide;  
4-[(R)-{3-[(cyclopentylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;  
30 4-[(S)-{3-[(cyclohexylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

- 4-[(R)-{3-[(cyclohex-1-en-1-ylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- N,N-diethyl-4-[(S)-{3-[methyl(phenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- 5 N,N-diethyl-4-[(S)-{3-[ethyl(phenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- N,N-diethyl-4-[(R)-{3-[methyl(phenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- N,N-diethyl-4-[(R)-{3-[ethyl(phenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- 10 4-[(R)-{3-[(cyclohexylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 4-[(R)-{3-[(cyclopentylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 4-[(R)-{3-[(cycloheptylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 15 4-[(R)-{3-[(cyclooctylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 4-[(R)-{3-[(cyclononylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 4-[(S)-{3-[(cyclohexylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- N,N-diethyl-4-[(R)-{3-[(4-methylphenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- 20 N,N-diethyl-4-[(S)-{3-[(4-methylphenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- 4-[(R)-{3-[(3-chlorophenyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 4-[(S)-{3-[(3-chlorophenyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 25 4-[(R)-{3-[(2-fluorophenyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 4-[(S)-{3-[(2-fluorophenyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 30 4-[(R)-{3-[(benzoylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- N,N-diethyl-4-[(R)-{3-[(phenylacetyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;

- 4-[(S)-[3-(benzoylamino)phenyl](piperazin-1-yl)methyl]-N,N-diethylbenzamide;  
N,N-diethyl-4-[(S)-{3-[(phenylacetyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;  
N,N-diethyl-4-[(R)-{3-[(2-methyl-2-phenylpropanoyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;  
5 N,N-diethyl-4-[(R)-(3-{[(3-fluorophenyl)acetyl]amino}phenyl)(piperazin-1-yl)methyl]benzamide;  
4-[(R)-{3-[(cyclohexylacetyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;  
10 N,N-diethyl-4-[(R)-{3-[(3-phenylpropanoyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;  
4-[(R)-{3-[(cyclohexylcarbonyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;  
N,N-diethyl-4-[(R)-{3-[(phenylsulfonyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;  
15 4-[(R)-{3-[(benzylsulfonyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;  
N,N-diethyl-4-[(S)-{3-[(phenylsulfonyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;  
20 4-[(R)-{3-[(anilincarbonyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;  
4-[(R)-{3-[(anilincarbonothioyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;  
N,N-diethyl-4-[(S)-1-piperazinyl[3-(propylamino)phenyl]methyl]benzamide;  
25 4-[(S)-[3-(dipropylamino)phenyl]-1-piperazinylmethyl]-N,N-diethylbenzamide;  
N,N-diethyl-4-[(R)-1-piperazinyl[3-(propylamino)phenyl]methyl]benzamide;  
4-[(R)-[3-(dipropylamino)phenyl]-1-piperazinylmethyl]-N,N-diethylbenzamide;  
N,N-diethyl-4-[(S)-1-piperazinyl[3-[[[4-(3-pyridinyl)phenyl]methyl]-amino]phenyl]methyl]benzamide;  
30 N,N-diethyl-4-[(S)-[3-[[[4-(1H-imidazol-1-yl)phenyl]methyl]amino]-phenyl]-1-piperazinylmethyl]benzamide;

- N,N*-diethyl-4-[(*S*)-1-piperazinyl[3-[(2-quinolinylmethyl)amino]phenyl]-methyl]benzamide;
- 4-[(*R*)-[3-[(2,2-diphenylethyl)amino]phenyl]-1-piperazinylmethyl]-*N,N*-diethylbenzamide;
- 5 4-[(*R*)-[3-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]phenyl]-1-piperazinylmethyl]-*N,N*-diethylbenzamide;
- N,N*-diethyl-4-[(*R*)-[3-[[4-phenoxyphenyl]methyl]amino]phenyl]-1-piperazinylmethyl]benzamide;
- N,N*-diethyl-4-[(*R*)-[4-(2-propenyl)-1-piperazinyl][3-(propylamino)-phenyl]methyl]benzamide;
- 10 4-{(*R*)-(3-aminophenyl)[4-(2-methoxyethyl)piperazin-1-yl]methyl}-*N,N*-diethylbenzamide;
- 4-{(*R*)-(3-aminophenyl)[4-(3-methoxypropyl)piperazin-1-yl]methyl}-*N,N*-diethylbenzamide;
- 15 *N,N*-diethyl-4-[(*R*)-[4-(2-methoxyethyl)-1-piperazinyl][3-(propylamino)-phenyl]methyl]benzamide;
- N,N*-diethyl-4-[(*R*)-[4-(3-methoxypropyl)-1-piperazinyl][3-(propylamino)phenyl]methyl]benzamide;
- 4-[(*S*)-[3-(cycloheptylamino)phenyl](piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;
- 20 4-[(*S*)-[3-(cyclooctylamino)phenyl](piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;
- N,N*-diethyl-4-[(*S*)-{3-[(3-phenylpropanoyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- 4-[(*R*)-(3-aminophenyl)[4-(2-propenyl)-1-piperazinyl]methyl]-*N,N*-diethylbenzamide;
- 25 4-[(*R*)-(3-aminophenyl)[4-(3-methyl-2-butenyl)-1-piperazinyl]methyl]-*N,N*-diethylbenzamide;
- 4-[(*R*)-(3-aminophenyl)[4-(cyclopropylmethyl)-1-piperazinyl]methyl]-*N,N*-diethylbenzamide;
- N,N*-diethyl-4-[(*R*)-[4-(2-propenyl)-1-piperazinyl][3-[(2-
- 30 thienylmethyl)amino]phenyl]methyl]-benzamide;
- N,N*-diethyl-4-[(*R*)-[4-(3-methyl-2-butenyl)-1-piperazinyl][3-[(2-thienylmethyl)amino]phenyl]methyl]-benzamide;

- 4-[(*R*)-[4-(cyclopropylmethyl)-1-piperazinyl][3-[(2-thienylmethyl)amino]phenyl]methyl]-*N,N*-diethyl-benzamide;  
4-{(S)-[3-(cyclohexylamino)phenyl][4-(cyclopropylmethyl)piperazin-1-yl]methyl}-*N,N*-diethylbenzamide;
- 5 4-[(S)-[3-(cyclohexylamino)phenyl](4-propylpiperazin-1-yl)methyl]-*N,N*-diethylbenzamide;  
4-[(S)-[3-(cyclohexylamino)phenyl](4-ethylpiperazin-1-yl)methyl]-*N,N*-diethylbenzamide;  
4-{(S)-(4-allylpiperazin-1-yl)[3-(cyclohexylamino)phenyl]methyl}-*N,N*-
- 10 diethylbenzamide;  
4-[(S)-{3-[(cyclohexylcarbonyl)amino]phenyl}(piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;  
4-[(S)-{3-[(cyclohexylacetyl)amino]phenyl}(piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;
- 15 4-[(S)-{3-[cyclohexyl(methyl)amino]phenyl}(piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;  
4-[(*R*)-{3-[cyclohexyl(methyl)amino]phenyl}(piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;  
enantiomers thereof; and pharmaceutically acceptable salts thereof.
- 20
11. A compound according to any one of claims 1-10 for use as a medicament.
12. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the therapy of pain, anxiety or functional
- 25 gastrointestinal disorders.
13. A pharmaceutical composition comprising a compound according to any one of claims 1-10 and a pharmaceutically acceptable carrier.
- 30 14. A method for the therapy of pain in a warm-blooded animal, comprising the step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of claims 1-10.

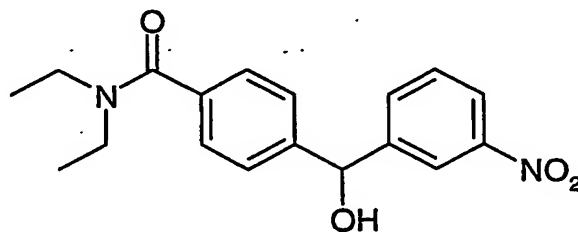


15. A method for the therapy of functional gastrointestinal disorders in a warm-blooded animal, comprising the step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of  
5 claims 1-10.

16. A method for the therapy of anxiety in a warm-blooded animal, comprising the step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of claims 1-10.

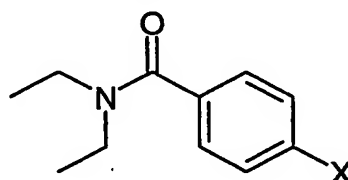
10

17. A process for preparing a compound of formula II, comprising:



II

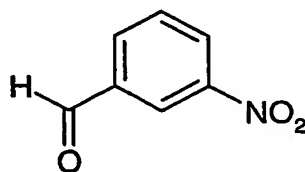
a) reacting a compound of formula III:



III

15

with a compound of formula IV



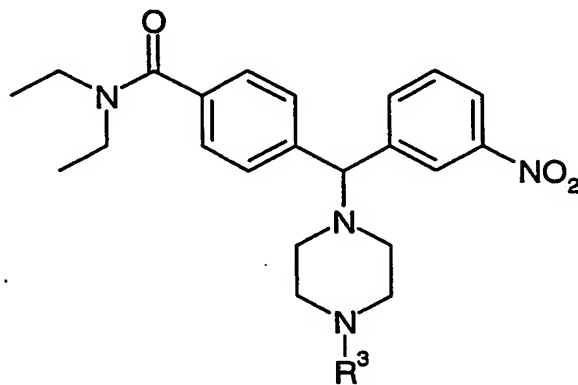
IV

20 in the presence of a base having a pKa of more than 15  
wherein

X is a halogen.

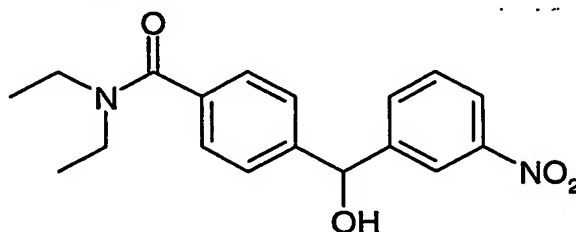
118

18. A process for preparing a compound of formula VI:



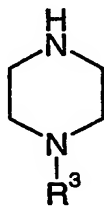
VI,

5 comprising: reacting a compound of formula II



II

with a compound of formula VII



VII

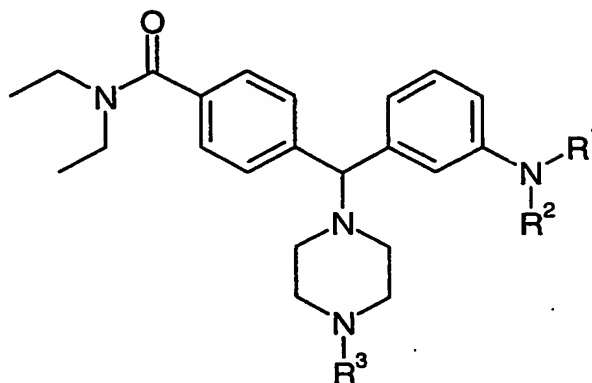
10 in the presence of SOX<sub>2</sub> to form the compound of formula VI,

wherein

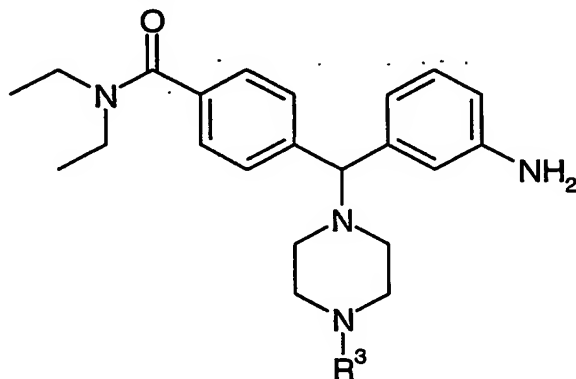
R<sup>3</sup> is selected from -H, C<sub>1-6</sub>alkyl-O-C(=O)-, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, and C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, wherein said C<sub>1-6</sub>alkyl-O-C(=O)-, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, and  
 15 C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl are optionally substituted with one or more groups selected from C<sub>1-6</sub>alkyl, halogenated C<sub>1-6</sub>alkyl, -NO<sub>2</sub>, -CF<sub>3</sub>, C<sub>1-6</sub>alkoxy and halogen; and  
 X is halogen.

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19. A process for preparing a compound of formula I,

**I**

comprising: reacting a compound of formula VIII,

**VIII**

with  $R^9$ -CHO in the presence of a reducing agent to form the compound of formula I:  
wherein

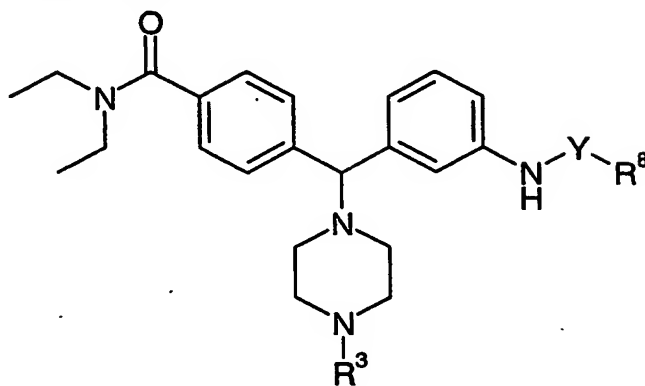
$R^1$  is  $R^9$ -CH<sub>2</sub>-, wherein  $R^9$  is selected from phenyl, pyridyl, thienyl, furyl,  
10 imidazolyl, triazolyl, pyrrolyl, thiazolyl, N-oxido-pyridyl, benzyl, pyridylmethyl,  
thienylmethyl, furylmethyl, imidazolylmethyl, triazolylmethyl, pyrrolylmethyl,  
thiazolylmethyl and N-oxido-pyridylmethyl, optionally substituted with one or more  
groups selected from C<sub>1-4</sub>alkyl, halogen, -CF<sub>3</sub>, -OH, C<sub>1-3</sub>alkoxy, phenoxy and  
halogen;

15  $R^2$  is -H; and

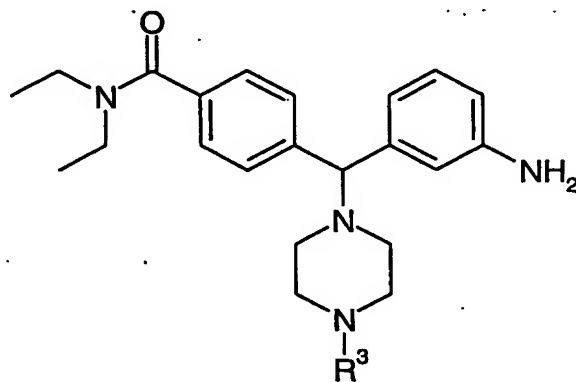
$R^3$  is selected from C<sub>1-6</sub>alkyl-O-C(=O)-, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, and C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, wherein said C<sub>1-6</sub>alkyl-O-C(=O)-, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, and C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl are optionally substituted with one or more groups selected from C<sub>1-6</sub>alkyl, halogenated C<sub>1-6</sub>alkyl, -NO<sub>2</sub>, -CF<sub>3</sub>, C<sub>1-6</sub>alkoxy and halogen.

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20. A process for preparing a compound of formula IX,

IX

5 comprising: reacting a compound of formula VIII,



VIII

with R<sup>8</sup>-Y-X or R<sup>8</sup>-Y-O-Y-R<sup>8</sup> to form the compound of formula IX:

wherein

10 X is halogen;

Y is selected from  $-C(=O)-$  and  $-S(=O)_2-$ ;

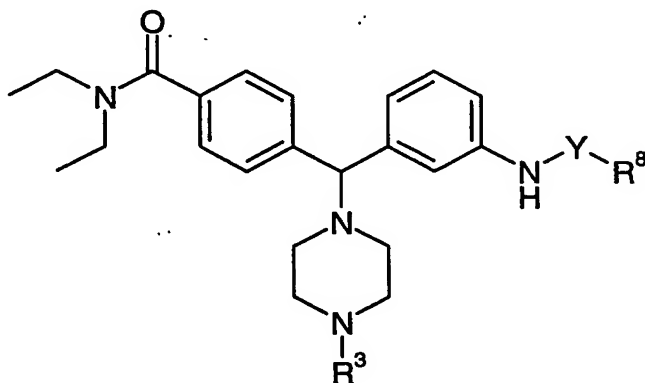
R<sup>8</sup> is selected from C<sub>3-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>2-6</sub>heteroaryl, C<sub>6-10</sub>aryl-C<sub>1-4</sub>alkyl, C<sub>2-6</sub>heteroaryl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, and C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl; wherein said C<sub>3-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>2-6</sub>heteroaryl, C<sub>6-10</sub>aryl-C<sub>1-4</sub>alkyl, C<sub>2-6</sub>heteroaryl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, and C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl are optionally substituted with C<sub>1-4</sub>alkyl, halogen, -CF<sub>3</sub>, -OH, C<sub>1-3</sub>alkoxy, phenoxy, and halogen; and

R<sup>3</sup> is selected from C<sub>1-6</sub>alkyl-O-C(=O)-, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, and C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, wherein said C<sub>1-6</sub>alkyl-O-C(=O)-, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl,

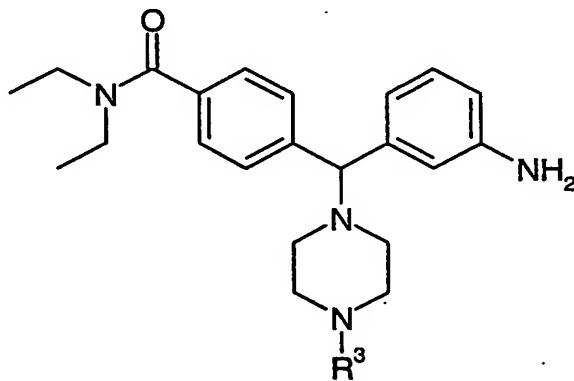
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and C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl are optionally substituted with one or more groups selected from C<sub>1-6</sub>alkyl, halogenated C<sub>1-6</sub>alkyl, -NO<sub>2</sub>, -CF<sub>3</sub>, C<sub>1-6</sub>alkoxy and halogen.

21. A process for preparing a compound of formula IX,

IX

comprising: reacting a compound of formula VIII,



VIII

10 with R<sup>8</sup>-Z to form the compound of formula IX:

wherein

Z is selected from -NCO and -NCS;

Y is selected from -C(=O)NH- and -C(=S)NH-;

15 R<sup>8</sup> is selected from C<sub>3-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>2-6</sub>heteroaryl, C<sub>6-10</sub>aryl-C<sub>1-4</sub>alkyl, C<sub>2-6</sub>heteroaryl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, and C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl; wherein said C<sub>3-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>2-6</sub>heteroaryl, C<sub>6-10</sub>aryl-C<sub>1-4</sub>alkyl, C<sub>2-6</sub>heteroaryl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, and C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl are optionally substituted with C<sub>1</sub>-alkyl, halogen, -CF<sub>3</sub>, -OH, C<sub>1-3</sub>alkoxy, phenoxy, and halogen; and

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$R^3$  is selected from  $C_{1-6}$ alkyl-O-C(=O)-,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{1-6}$ alkyl-O-C(=O)-,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl are optionally substituted with one or more groups selected from  $C_{1-6}$ alkyl, halogenated  $C_{1-6}$ alkyl,  $-NO_2$ ,  $-CF_3$ ,  $C_{1-6}$ alkoxy and halogen.